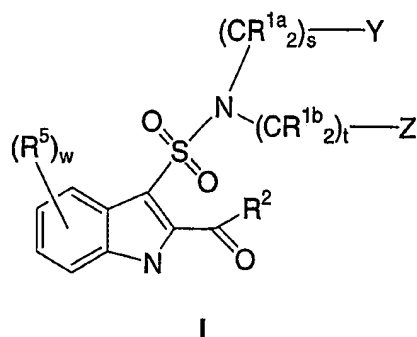


WHAT IS CLAIMED IS:

1. A compound of Formula I:



5 wherein:

R^{1a} and R^{1b} are independently selected from:

- 1) hydrogen,
- 2) unsubstituted or substituted C₁-C₁₀ alkyl,
- 10 3) OR³,
- 4) N(R³)₂,
- 5) unsubstituted or substituted aryl,
- 6) unsubstituted or substituted heterocycle, and
- 7) unsubstituted or substituted C₃-C₁₀ cycloalkyl;

15

R^{1c} is independently selected from:

- 1) hydrogen,
- 2) C₁-C₁₀ alkyl,
- 3) OR³,
- 20 4) N(R³)₂,
- 5) C₃-C₁₀ cycloalkyl,
- 6) aryl, and
- 7) heterocycle;

said alkyl, cycloalkyl, aryl and heterocycle is optionally substituted with at least one
 25 substituent selected from R⁷;

R² is independently selected from:

- 1) hydrogen,
- 2) unsubstituted or substituted C₁-C₁₀ alkyl,
- 3) N(R³)₂,
- 5 4) OR³,
- 5) unsubstituted or substituted aryl, and
- 6) unsubstituted or substituted C₃-C₁₀ cycloalkyl;

R³ is independently selected from:

- 10 1) hydrogen,
- 2) C₁-C₁₀ alkyl,
- 3) aryl,
- 4) heterocycle,
- 5) C₃-C₁₀ cycloalkyl,
- 15 6) CF₃,
- 7) C₂-C₆ alkenyl,
- 8) C₂-C₆ alkynyl,
- 9) S(O)_mR⁶, and
- 10) C(O)R⁶;

20 said alkyl, cycloalkyl, aryl, heterocycle, alkynyl, and alkenyl is optionally substituted with at least one substituent selected from R⁷;

R⁵ is independently selected from:

- 1) hydrogen,
- 25 2) halogen,
- 3) -(CR^{1c2})_nOR³,
- 4) -(CR^{1c2})_nR⁶,
- 5) -C(O)OR³,
- 6) -C(O)R³,
- 30 7) -C≡CR³,
- 8) -R³C=C(R³)₂,
- 9) -OS(O)_mR⁶,
- 10) -NO₂,
- 11) -(CR^{1c2})_nN(R³)₂,

- 5
- 12) $-N(R^3)C(O)R^3$,
 13) $-N(R^3)S(O)_mR^6$,
 14) $-(CR^{1c}_2)_nNR^3(CR^{1c}_2)_nC(O)NR^3_2$,
 15) $-O(CR^{1c}_2)_nC(O)N(R^3)_2$,
 16) $-O(CR^{1c}_2)_nC(O)OR^3$,
 17) $-NR^3(CR^{1c}_2)_nN(R^3)_2$,
 18) $-(CR^{1c}_2)_nNR^3R^6OR^3$,
 19) $-S(O)_mR^6$,
 20) $-S(O)_mN(R^3)_2$,
 10 21) $-CN$,
 22) $-(CR^{1c}_2)_nN(R^3)(CR^{1c}_2)_nR^6$, and
 23) $-(CR^{1c}_2)_nC(O)N(R^3)_2$;

R^6 is independently selected from:

- 15
- 1) C_1 - C_{10} alkyl,
 2) C_3 - C_{10} cycloalkyl,
 3) aryl, and
 4) heterocycle;

20 said, alkyl, cycloalkyl, aryl and heterocycle is optionally substituted with at least one substituent selected from R^7 ;

R^7 is independently selected from:

- 25
- 1) hydrogen,
 2) unsubstituted or substituted C_1 - C_{10} alkyl,
 3) unsubstituted or substituted C_3 - C_{10} cycloalkyl,
 4) unsubstituted or substituted aryl,
 5) halogen,
 6) OR^3 ,
 7) CF_3 ,
 30 8) unsubstituted or substituted heterocycle,
 9) $S(O)_mN(R^3)_2$,
 10) $C(O)OR^3$,
 11) $C(O)R^3$,
 12) CN ,

- 13) $C(O)N(R^3)_2$,
- 14) $N(R^3)C(O)R^3$,
- 15) $S(O)_mR^6$, and
- 16) NO_2 ;

5

Y and Z are independently selected from:

- 1) hydrogen,
- 2) R^6 ,
- 3) OR^3 ,
- 10 4) $N(R^3)_2$,
- 5) $C(O)OR^3$,
- 6) $C(O)N(R^3)_2$,
- 7) $C(O)R^3$,
- 8) halogen,
- 15 9) $N(R^3)(CR^{1c}_2)_n C(O)N(R^3)_2$,
- 10) $S(O)_m N(R^3)_2$,
- 11) $N(R^3)C(O)OR^3$,
- 12) $N(R^3)S(O)_m R^6$,
- 13) $N(R^3)C(O)R^3$,
- 20 14) $N(R^3)(CR^{1c}_2)_n R^3$,
- 15) $S(O)_m R^6$,
- 16) $R^6S(O)_m N(R^3)_2$,
- 17) $R^6S(O)_m R^6$,
- 18) $N(R^3)S(O)_m (CR^{1c}_2)_n R^6$,
- 25 19) $N(R^3)S(O)_m R^6OR^3$,
- 20) $N(R^3)C(O)N(R^3)_2$,
- 21) $N(R^3)C(O)R^6OR^3$,
- 22) $N(R^3)(CR^{1c}_2)_n R^6OR^3$,
- 23) $N(R^3)OR^3$, and
- 30 24) $N(R^3)S(O)_m R^6NO_2$;

m is independently 0, 1 or 2;

n is independently 0 to 6;

s is 0 to 6;

t is 0 to 6;

w is 0 to 4;

or a pharmaceutically acceptable salt or stereoisomer thereof.

5

2. The compound according to Claim 1,

wherein:

R^{1a} and R^{1b} are independently selected from:

10

- 1) hydrogen,
- 2) unsubstituted or substituted C₁-C₁₀ alkyl,
- 3) unsubstituted or substituted aryl,
- 4) unsubstituted or substituted heterocycle, and
- 5) OR³;

15

R^{1c} is independently selected from:

20

- 1) hydrogen,
- 2) C₁-C₁₀ alkyl,
- 3) OR³,
- 4) N(R³)₂,
- 5) aryl, and
- 6) heterocycle;

said alkyl, aryl and heterocycle is optionally substituted with at least one substituent selected from R⁷;

25

R² is:

30

- 1) H,
- 2) unsubstituted or substituted alkyl,
- 3) OR³, or
- 4) N(R³)₂;

R³ is independently selected from:

35

- 1) hydrogen,
- 2) C₁-C₁₀ alkyl,
- 3) aryl,

- 4) heterocycle,
 5) C₃-C₁₀ cycloalkyl,
 6) CF₃,
 7) S(O)_mR⁶, and
 5 8) C(O)R⁶;

said alkyl, cycloalkyl, aryl and heterocycle is optionally substituted with at least one substituent selected from R⁷;

R⁵ is independently selected from:

- 10 1) hydrogen,
 2) halogen,
 3) -OR³,
 4) -C(O)OR³,
 5) -C(O)R³,
 15 6) -C≡CR³,
 7) -R³C=C(R³)₂,
 8) -OS(O)_mR⁶,
 9) -NO₂,
 10) -N(R³)₂,
 20 11) -N(R³)C(O)R³,
 12) -N(R³)S(O)_mR⁶,
 13) -(CR^{1c}₂)_nNR³(CR^{1c}₂)_nC(O)NR³₂,
 14) -O(CR^{1c}₂)_nC(O)N(R³)₂,
 15) -O(CR^{1c}₂)_nC(O)OR³,
 25 16) -NR³(CR^{1c}₂)_nN(R³)₂,
 17) -(CR^{1c}₂)_nNR³R⁶OR³,
 18) -S(O)_mR⁶,
 19) -S(O)_mN(R³)₂,
 20) -CN, and
 30 21) -(CR^{1c}₂)_nN(R³)(CR^{1c}₂)_nR⁶;

or a pharmaceutically acceptable salt or stereoisomer thereof.

3. The compound according to Claim 2,

wherein:

R^{1a} and R^{1b} are independently selected from hydrogen, unsubstituted or substituted C₁-C₁₀ alkyl, OR³, and unsubstituted or substituted aryl;

5

R^{1c} is independently selected from:

- 1) hydrogen,
- 2) C₁-C₁₀ alkyl,
- 3) OR³, and
- 10 4) aryl;

said alkyl and aryl is optionally substituted with at least one substituent selected from R⁷;

R² is:

- 15 1) OR³, or
- 2) N(R³)₂;

R⁵ is independently selected from:

- 1) hydrogen,
- 20 2) (CR^{1c2})_nR⁶,
- 3) halogen,
- 4) -(CR^{1c2})_nOR³,
- 5) -C(O)OR³,
- 6) -C(O)R³,
- 25 7) -C≡CR³,
- 8) -R³C=C(R³)₂,
- 9) (CR^{1c2})_nC(O)N(R³)₂, and
- 10) (CR^{1c2})_nN(R³)₂;

30 Y is:

- 1) hydrogen,
- 2) R⁶,
- 3) OR³,
- 4) C(O)R³,

- 5) $C(O)N(R^3)_2$, or
 6) $N(R^3)_2$;

Z is:

- 5 1) hydrogen,
 2) R^6 ,
 3) OR^3 ,
 4) $N(R^3)_2$,
 5) $C(O)OR^3$,
 10 6) $C(O)N(R^3)_2$,
 7) $C(O)R^3$,
 8) halogen,
 9) $N(R^3)(CR^{1c}_2)_n C(O)N(R^3)_2$,
 10) $S(O)_m N(R^3)_2$,
 15 11) $N(R^3)C(O)OR^3$,
 12) $N(R^3)S(O)_m R^6$,
 13) $N(R^3)C(O)R^3$,
 14) $N(R^3)(CR^{1c}_2)_n R^3$, or
 15) $S(O)_m R^6$;

20

n is independently 0 to 4;

or a pharmaceutically acceptable salt or stereoisomer thereof.

- 25 4. A compound selected from:

5-Chloro-3-[(methylamino)sulfonyl]-1*H*-indole-2-carboxamide;

3-(Aminosulfonyl)-5-chloro-1*H*-indole-2-carboxamide;

30

5-Bromo-3-({methyl[(5-oxo-4,5-dihydro-1*H*-1,2,4-triazol-3-yl)methyl] amino} sulfonyl)-1*H*-indole-2-carboxamide;

3-({[2-(Aminosulfonyl)ethyl]amino}sulfonyl)-5-iodo-1*H*-indole-2-carboxamide;

- 3-[(Dimethylamino)sulfonyl]-5-methoxy-1H-indole-2-carboxamide;
- 5-Chloro-3-[(2-phenethylamino)sulfonyl]-1H-indole-2-carboxamide;
- 5 5-Chloro-3-[(benzylamino)sulfonyl]-1H-indole-2-carboxamide;
- 5-Chloro-3-[(cyclohexylamino)sulfonyl]-1H-indole-2-carboxamide;
- 5-Chloro-3-[(1-naphthylamino)sulfonyl]-1H-indole-2-carboxamide;
- 10 5-Chloro-3-[(3-phenylpropylamino)sulfonyl]-1H-indole-2-carboxamide;
- 5-Chloro-3-[(ethylamino)sulfonyl]-1H-indole-2-carboxamide;
- 15 5-Chloro-3-[(propylamino)sulfonyl]-1H-indole-2-carboxamide;
- 5-Chloro-3-[(butylamino)sulfonyl]-1H-indole-2-carboxamide;
- 5-Chloro-3-[(pentylamino)sulfonyl]-1H-indole-2-carboxamide;
- 20 5-Chloro-3-[(ethyl(methyl)amino)sulfonyl]-1H-indole-2-carboxamide;
- 5-Chloro-3-[(diethylamino)sulfonyl]-1H-indole-2-carboxamide;
- 25 5-Chloro-3-[(iso-propylamino)sulfonyl]-1H-indole-2-carboxamide;
- 5-Chloro-3-[(cyclobutylamino)sulfonyl]-1H-indole-2-carboxamide;
- 5-Chloro-3-[(cyclopentylamino)sulfonyl]-1H-indole-2-carboxamide;
- 30 5-Chloro-3-[(4-chlorophenylamino)sulfonyl]-1H-indole-2-carboxamide;
- 5-Chloro-3-[(3-chlorophenylamino)sulfonyl]-1H-indole-2-carboxamide;
- 35 5-Chloro-3-[(2-chlorophenylamino)sulfonyl]-1H-indole-2-carboxamide;

- 5-Chloro-3-[[[(4-chlorophenyl)methylamino]sulfonyl]-1*H*-indole-2-carboxamide;
- 5-Chloro-3-[[[(3-chlorophenyl)methylamino]sulfonyl]-1*H*-indole-2-carboxamide;
- 5 5-Chloro-3-[[[(2-chlorophenyl)methylamino]sulfonyl]-1*H*-indole-2-carboxamide;
- 5-Chloro-3-[(*tert*-butylamino)sulfonyl]-1*H*-indole-2-carboxamide;
- (±)-5-Chloro-3-[(pyrrolidin-3-ylamino)sulfonyl]-1*H*-indole-2-carboxamide;
- 10 5-Chloro-3-[(piperidin-4-ylamino)sulfonyl]-1*H*-indole-2-carboxamide;
- 5-Chloro-3-[[[(1-methyl-1*H*-benzimidazol-2-yl)amino]sulfonyl]-1*H*-indole-2-carboxamide;
- 15 5-Chloro-3-[(benzamideamino)sulfonyl]-1*H*-indole-2-carboxamide;
- 5-Chloro-3-[(5-aminotetrazole)sulfonyl]-1*H*-indole-2-carboxamide;
- 20 5-Chloro-3-[(pyridin-4-ylamino)sulfonyl]-1*H*-indole-2-carboxamide;
- 5-Chloro-3-[(pyridin-2-ylamino)sulfonyl]-1*H*-indole-2-carboxamide;
- 5-Chloro-3-[[[(2-methoxyethyl)amino]sulfonyl]-1*H*-indole-2-carboxamide;
- 25 5-Chloro-3-[(dimethylamino)sulfonyl]-1*H*-indole-2-carboxamide;
- 3-[[2-(Aminosulfonyl)ethyl]amino]sulfonyl-5-chloro-1*H*-indole-2-carboxamide ;
- 30 5-Chloro-3-[[[(2-hydroxyethyl)amino]sulfonyl]-1*H*-indole-2-carboxamide;
- 5-Chloro-3-[[[(2-morpholin-4-ylethyl)amino]sulfonyl]-1*H*-indole-2-carboxamide;
- 5-Chloro-3-[[[(2-methoxyethyl)(methyl)amino]sulfonyl]-1*H*-indole-2-carboxamide;
- 35

- 5-Bromo-3-[[[2-(2-acetamide)amino]ethyl]amino]sulfonyl]-1*H*-indole-2-carboxamide;
- N*-{[2-(Aminocarbonyl)-5-bromo-1*H*-indol-3-yl]sulfonyl}-*N*-methyl-β-alaninamide;
- 5 5-Bromo-3-[(methylamino)sulfonyl]-1*H*-indole-2-carboxamide;
- Ethyl *N*-{[2-(aminocarbonyl)-5-bromo-1*H*-indol-3-yl]sulfonyl} *N*-methyl-β-alaninate;
- 10 5-Bromo-3-[[cyclopropyl(methyl)amino]sulfonyl]-1*H*-indole-2-carboxamide;
- (±)-5-Bromo-3-[[methyl(tetrahydrofuran-3-yl)amino]sulfonyl]-1*H*-indole-2-carboxamide;
- 15 5-Bromo-3-[[methyl[2-(1*H*-1,2,4-triazol-1-yl)ethyl]amino]sulfonyl]-1*H*-indole-2-carboxamide;
- 5-Bromo-3-[[methyl(tetrahydro-2*H*-pyran-4-yl)amino]sulfonyl]-1*H*-indole-2-carboxamide;
- 20 (±)-5-Bromo-3-[[[(1,4-dioxan-2-yl)methyl](methyl)amino]sulfonyl]-1*H*-indole-2-carboxamide;
- 3-[[[4-(Aminosulfonyl)benzyl]amino]sulfonyl]-5-bromo-1*H*-indole-2-carboxamide;
- 25 5-Chloro-3-[[*iso*-propyl(2-methoxyethyl)amino]sulfonyl]-1*H*-indole-2-carboxamide;
- 3-[[2-(2-Bromoethyl)(2-hydroxyethyl)amino]sulfonyl]-5-hydroxy-1*H*-indole-2-carboxamide;
- 30 3-[[2-(2-Bromoethyl)(2-hydroxyethyl)amino]sulfonyl]-5-methoxy-1*H*-indole-2-carboxamide;
- 5-Chloro-3-[[methoxy(methyl)amino]sulfonyl]-1*H*-indole-2-carboxamide;
- 35

- (±)-5-Chloro-3-{{(2,3-dihydroxypropyl)(methyl)amino}sulfonyl}-1*H*-indole-2-carboxamide;
- 5 5-Chloro-3-{{(2-hydroxyethyl)(methyl)amino}sulfonyl}-1*H*-indole-2-carboxamide;
- N*-{{2-(Aminocarbonyl)-5-chloro-1*H*-indol-3-yl}sulfonyl}-*N*-methylglycine;
- N*-{{2-(Aminocarbonyl)-5-chloro-1*H*-indol-3-yl}sulfonyl}-*N*-methylglycinamide;
- 10 5-Bromo-3-{{[4-(methylsulfonyl)benzyl]amino}sulfonyl}-1*H*-indole-2-carboxamide;
- 3-{{[2-[4-(Aminosulfonyl)phenyl]ethyl]amino}sulfonyl}-5-bromo-1*H*-indole-2-carboxamide;
- 15 3-{{[5-Amino-5-oxopentyl]amino}sulfonyl}-5-bromo-1*H*-indole-2-carboxamide;
- 3-{{[2-(Aminosulfonyl)ethyl]amino}sulfonyl}-5-bromo-1*H*-indole-2-carboxamide;
- tert*-Butyl 2-{{[2-(aminocarbonyl)-5-bromo-1*H*-indol-3-yl}sulfonyl]amino}-
- 20 ethylcarbamate;
- 3-{{[2-Aminoethyl]amino}sulfonyl}-5-bromo-1*H*-indole-2-carboxamide;
- 5-Bromo-3-{{[ethylsulfonylamino]ethylamino}sulfonyl}-1*H*-indole-2-carboxamide;
- 25 5-Iodo-3-{{[2-{{[4-methoxyphenyl]sulfonyl]amino}ethyl]amino}sulfonyl}-1 *H*-indole-2-carboxamide;
- 5-Bromo-3-{{[methoxy(methyl)amino}sulfonyl]-1*H*-indole-2-carboxamide;
- 30 5-Fluoro-3-{{[2-{{[4-methoxyphenyl]sulfonyl]amino}ethyl}(methyl)amino}sulfonyl}-1*H*-indole-2-carboxamide;

5-Bromo-3-[[2-[[4-nitrophenyl)sulfonyl]amino]ethyl]amino)sulfonyl]-1*H*-indole-2-carboxamide;

5-Bromo-3-[[2-[[4-methoxyphenyl)amino]carbonyl]amino]ethyl]amino)sulfonyl]-
5 1*H*-indole-2-carboxamide;

5-Bromo-3-[[3-[(4-chlorophenyl)thio]propyl]amino)sulfonyl]-1*H*-indole-2-carboxamide;

10 5-Bromo-3-[[3-[(4-chlorophenyl)thio]propyl]amino)sulfonyl]-1 *H*-indole-2-carboxamide;

5-Bromo-3-[[3-[(4-chlorophenyl)sulfonyl]propyl]amino)sulfonyl]-1 *H*-indole-2-carboxamide;

15 5-Bromo-3-[[3-[(propylsulfonyl)amino]ethyl]amino)sulfonyl]-1*H*-indole-2-carboxamide hydrochloride;

5-Bromo-3-[[2-[[4-methoxyphenyl)sulfonyl]amino]ethyl]amino)sulfonyl]-1*H*-
20 indole-2-carboxamide ;

5-Bromo-3-[[2-[(phenylsulfonyl)amino]ethyl]amino)sulfonyl]-1*H*-indole-2-carboxamide;

25 5-Bromo-3-[[2-[(methylsulfonyl)amino]ethyl]amino)sulfonyl]-1*H*-indole-2-carboxamide;

3-[[2-[(Benzylsulfonyl)amino]ethyl]amino)sulfonyl]-5-bromo-1*H*-indole-2-carboxamide;

30 5-Bromo-3-[[2-[[3-methoxyphenyl)sulfonyl]amino]ethyl]amino)sulfonyl]-1*H*-indole-2-carboxamide;

5-Bromo-3-[[2-[[2,5-dimethoxyphenyl)sulfonyl]amino]ethyl]amino)sulfonyl]-1*H*-
35 indole-2-carboxamide;

- 5-Bromo-3-{{(2-{{(5-bromo-2-methoxyphenyl)sulfonyl}amino}ethyl)amino} sulfonyl}-1*H*-indole-2-carboxamide;
- 5-Bromo-3-{{(2-{{(2-(trifluoromethoxy)phenyl)sulfonyl}amino}ethyl)amino} sulfonyl)-1 *H*-indole-2-carboxamide;
- 5-Bromo-3-{{(2-{{(2-methoxy-5-methylphenyl)sulfonyl}amino}ethyl)amino} sulfonyl}-1*H*-indole-2-carboxamide;
- 10 5-Bromo-3-{{(2-{{(4-cyanophenyl)sulfonyl}amino}ethyl)amino}sulfonyl}-1*H*-indole-2-carboxamide;
- 5-Bromo-3-{{(2-{{(4-chlorophenyl)sulfonyl}amino}ethyl)amino}sulfonyl}-1*H*-indole-2-carboxamide;
- 15 5-Bromo-3-{{(2-{{(3,4-dimethoxyphenyl)sulfonyl}amino}ethyl)amino}sulfonyl}-1*H*-indole-2-carboxamide;
- 5-Bromo-3-{{(3-{{(phenylsulfonyl)amino}propyl)amino}sulfonyl)-1*H*-indole-2-carboxamide;
- 20 5-Bromo-3-{{(3-{{(4-methoxyphenyl)sulfonyl}amino}propyl)amino}sulfonyl}-1*H*-indole-2-carboxamide;
- 25 3-{{(3-{{(Benzylsulfonyl)amino}propyl)amino}sulfonyl)-5-bromo-1*H*-indole-2-carboxamide;
- 3-{{(2-{{(Aminocarbonyl)amino}ethyl)amino}sulfonyl)-5-bromo-1*H*-indole-2-carboxamide;
- 30 5-Bromo-3-{{(2-{{(4-bromophenyl)sulfonyl}amino}ethyl)amino}sulfonyl}-1*H*-indole-2-carboxamide;
- 5-Bromo-3-{{(2-{{(thien-3-ylsulfonyl)amino}ethyl)amino}sulfonyl)-1*H*-indole-2-carboxamide;
- 35

5-Bromo-3-{{(2-{{(3-chlorobenzyl)sulfonyl}amino}ethyl)amino)sulfonyl}}-1*H*-indole-2-carboxamide;

5-Bromo-3-{{(2-{{(2-phenylethyl)sulfonyl}amino}ethyl)amino)sulfonyl}}-1*H*-indole-2-carboxamide;

5-Bromo-3-{{(2-{{(4-methoxybenzoyl)amino}ethyl)amino)sulfonyl}}-1*H*-indole-2-carboxamide;

10 5-Bromo-3-{{(2-{{(4-methoxybenzyl)amino}ethyl)amino)sulfonyl}}-1*H*-indole-2-carboxamide;

5-Bromo-3-{{(2-{{(4-methoxyphenyl)amino}ethyl)amino)sulfonyl}}-1*H*-indole-2-carboxamide;

15 5-Bromo-3-{{(2-{{(4-methoxyphenyl)(methylsulfonyl)amino}ethyl)amino)sulfonyl}}-1*H*-indole-2-carboxamide;

20 3-(((2-{{Acetyl(4-methoxyphenyl)amino}ethyl)amino)sulfonyl))-5-bromo-1*H*-indole-2-carboxamide;

5-Iodo-3-{{cyclopropyl(methyl)amino)sulfonyl}}-1*H*-indole-2-carboxamide;

5-Iodo-3-{{cyclopropylamino)sulfonyl}}-1*H*-indole-2-carboxamide;

25 5-Bromo-3-{{cyclopropylamino)sulfonyl}}-1*H*-indole-2-carboxamide;

5-Iodo-3-{{methoxy(methyl)amino)sulfonyl}}-1*H*-indole-2-carboxamide;

30 (±)-5-Chloro-3-{{(tetrahydro-2*H*-pyran-2-ylmethyl)amino)sulfonyl}}-1*H*-indole-2-carboxamide;

(±)-5-Bromo-3-{{(tetrahydro-2*H*-pyran-2-ylmethyl)amino)sulfonyl}}-1*H*-indole-2-carboxamide;

35

- (±)-5-Iodo-3-{[(tetrahydro-2*H*-pyran-2-ylmethyl)amino]sulfonyl}-1*H*-indole-2-carboxamide;
- 5 (±)-5-Chloro-3-{[methyl(tetrahydro-2*H*-pyran-2-ylmethyl)amino]sulfonyl}-1*H*-indole-2-carboxamide;
- (±)-5-Bromo-3-{[methyl(tetrahydro-2*H*-pyran-2-ylmethyl)amino]sulfonyl}-1*H*-indole-2-carboxamide;
- 10 (±)-5-Iodo-3-{[methyl(tetrahydro-2*H*-pyran-2-ylmethyl)amino]sulfonyl}-1*H*-indole-2-carboxamide;
- 5-Bromo-3-({[2-(tert-butylthio)ethyl]amino}sulfonyl)-1-*H*-indole-2-carboxamide;
- 15 5-chloro-3-{[methyl(tetrahydro-2*H*-pyran-4-yl)amino]sulfonyl}-1*H*-indole-2-carboxamide;
- 5-chloro-3-({[1-(2,3-dihydro-1,4-benzodioxin-2-yl)ethyl]amino}sulfonyl)-1*H*-indole-2-carboxamide;
- 20 5-chloro-3-[(tetrahydro-2*H*-pyran-4-ylamino)sulfonyl]-1*H*-indole-2-carboxamide;
- 5-chloro-3-({[(1,4-dioxan-2-ylmethyl)(methyl)amino]sulfonyl}-1*H*-indole-2-carboxamide;
- 25 5-chloro-3-({[(3-methyloxetan-3-yl)methyl]amino}sulfonyl)-1*H*-indole-2-carboxamide;
- 5-chloro-3-[(tetrahydrofuran-3-ylamino)sulfonyl]-1*H*-indole-2-carboxamide;
- 30 5-chloro-3-({[(1,1-dioxidotetrahydrothien-3-yl)methyl]amino}sulfonyl)-1*H*-indole-2-carboxamide;
- 5-chloro-3-({[2-(3-phenyl-1*H*-1,2,4-triazol-5-yl)ethyl]amino}sulfonyl)-1*H*-indole-2-carboxamide;

- 5-chloro-3-([2-(2-methoxyphenyl)ethyl]amino)sulfonyl)-1H-indole-2-carboxamide;
- 5-chloro-3-([3-(trifluoromethyl)benzyl]amino)sulfonyl)-1H-indole-2-carboxamide;
- 5 5-chloro-3-([2-(2,3-dihydro-1*H*-indol-1-yl)ethyl]amino)sulfonyl)-1*H*-indole-2-carboxamide;
- 10 5-chloro-3-([methyl[(1-methylpiperidin-3-yl)methyl]amino)sulfonyl)-1*H*-indole-2-carboxamide;
- 5-chloro-3-([(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]amino)sulfonyl)-1H-indole-2-carboxamide;
- 15 5-bromo-3-([(3-ethoxypropyl)amino]sulfonyl)-1H-indole-2-carboxamide;
- 3-([2-(aminocarbonyl)-5-bromo-1H-indol-3-yl]sulfonyl)amino)methyl]-1-benzylpyrrolidine;
- 20 5-bromo-3-([(1-benzylpyrrolidin-3-yl)methyl]amino)sulfonyl)-1*H*-indole-2-carboxamide;
- 5-bromo-3-([(3-pyridin-3-ylpropyl)amino]sulfonyl)-1*H*-indole-2-carboxamide;
- 25 1-[2-([2-(aminocarbonyl)-5-bromo-1H-indol-3-yl]sulfonyl)amino)ethyl]-4-phenylpiperidine;
- 5-bromo-3-([(3-cyclohexylpropyl)amino]sulfonyl)-1H-indole-2-carboxamide;
- 30 5-bromo-3-([(4,4-diphenylbutyl)amino]sulfonyl)-1H-indole-2-carboxamide;
- 5-bromo-3-([(3-butoxypropyl)amino]sulfonyl)-1H-indole-2-carboxamide;
- 5-bromo-3-([(6,7,8,9-tetrahydro-5H-benzo[*a*][7]annulen-7-yl)methyl]amino)sulfonyl)-
- 35 1H-indole-2-carboxamide;

5-bromo-3-({[3-(3,5-dimethyl-1H-pyrazol-1-yl)propyl]amino}sulfonyl)-1H-indole-2-carboxamide;

- 5 5-bromo-3-({[3-(4-tert-butoxyphenyl)propyl]amino}sulfonyl)-1H-indole-2-carboxamide;

5-bromo-3-({[4-(4-tert-butoxyphenyl)butyl]amino}sulfonyl)-1H-indole-2-carboxamide;

10

5-bromo-3-({[(2-methoxy-1-methylethyl)amino]sulfonyl})-1H-indole-2-carboxamide;

5-bromo-3-({[(4-phenylbutyl)amino]sulfonyl})-1H-indole-2-carboxamide;

- 15 5-bromo-3-({[2-[(2,6-dichlorobenzyl)thio]ethyl]amino}sulfonyl)-1H-indole-2-carboxamide;

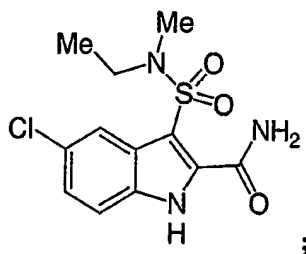
5-bromo-3-({[2-(tert-butylthio)ethyl]amino}sulfonyl)-1H-indole-2-carboxamide;

- 20 5-bromo-3-({[6-[(4-chlorobenzyl)amino]-6-oxohexyl]amino}sulfonyl)-1H-indole-2-carboxamide;

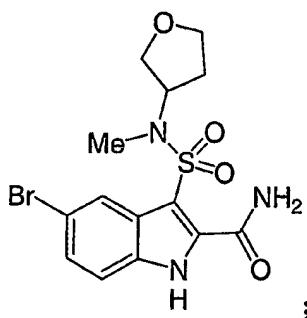
or a pharmaceutically acceptable salt or stereoisomer thereof.

- 25 5. The compound according to Claim 4, that is selected from:

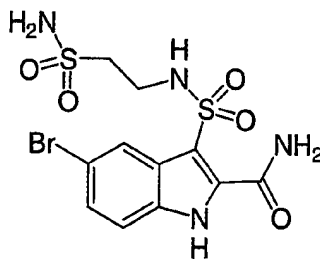
5-Chloro-3-{[ethyl(methyl)amino]sulfonyl}-1H-indole-2-carboxamide



(±)-5-Bromo-3-{[methyl(tetrahydrofuran-3-yl)amino]sulfonyl}-1*H*-indole-2-carboxamide

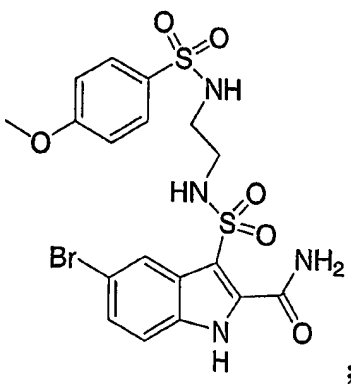


3-({[2-(Aminosulfonyl)ethyl]amino}sulfonyl)-5-bromo-1*H*-indole-2-carboxamide

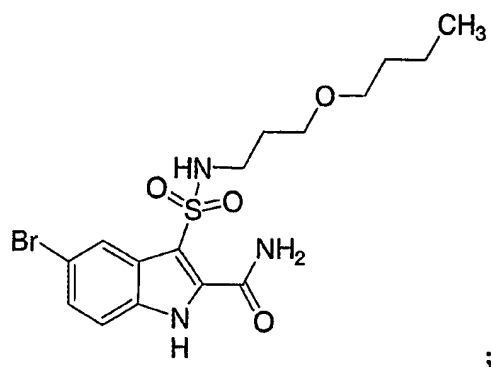


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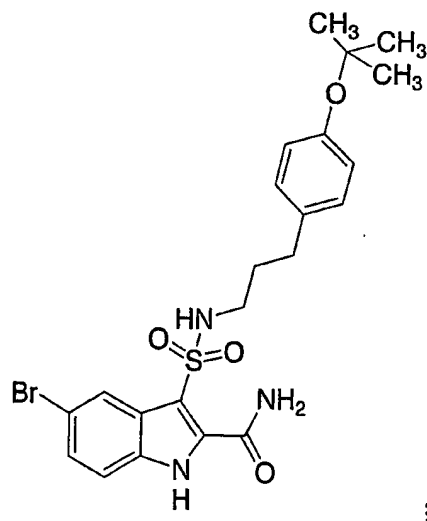
5-Bromo-3-{[(2-{[(4-methoxyphenyl)sulfonyl]amino}ethyl)amino]sulfonyl}-1*H*-indole-2-carboxamide



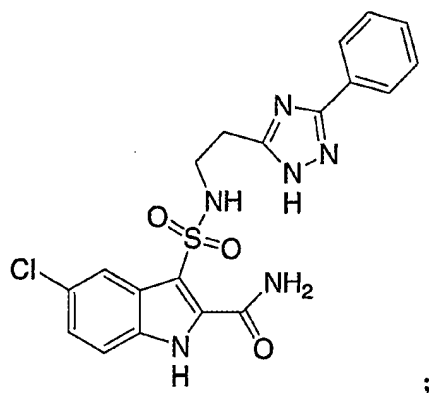
5-bromo-3-{[(3-butoxypropyl)amino]sulfonyl}-1*H*-indole-2-carboxamide



5-bromo-3-((3-(4-tert-butoxyphenyl)propyl)amino)sulfonyl-1H-indole-2-carboxamide



5 5-chloro-3-((2-(3-phenyl-1H-1,2,4-triazol-5-yl)ethyl)amino)sulfonyl-1H-indole-2-carboxamide



or a pharmaceutically acceptable salt or stereoisomer thereof.

- 5 6. A pharmaceutical composition which is comprised of a compound in accordance with Claim 1 and a pharmaceutically acceptable carrier..
7. A method of modulating the catalytic activity of protein kinases in a mammal in need thereof comprising contacting the protein kinase with a
10 compound of Claim 1.
8. The method of Claim 7 wherein the protein kinase is an RTK.
9. The method of Claim 8, wherein the RTK is selected from IR, IGF-1R and IRR.
15
10. A method of treating or preventing a PK-related disorder in a mammal in need thereof comprising administering to said mammal a therapeutically effective amount of a compound of Claim 1.
- 20 11. A method of Claim 10, wherein the PK-related disorder is an IGF-1R-related disorder selected from:
- 1) cancer,
 - 2) diabetes,
 - 3) an autoimmune disorder,
 - 25 4) a hyperproliferation disorder,

- 5) aging,
- 6) acromegaly, and
- 7) Crohn's disease.

5 12. A method of treating cancer in a mammal in need of such treatment comprising administering to said mammal a therapeutically effective amount of a compound of Claim 1.

10 13. A method of treating retinal vascularization comprising administering to a mammal in need of such treatment a therapeutically effective amount of a compound of Claim 1.

15 14. A method of treating cancer which comprises administering a therapeutically effective amount of a compound of Claim 1 in combination with a second compound selected from:

- 1) an estrogen receptor modulator,
- 2) an androgen receptor modulator,
- 3) retinoid receptor modulator,
- 4) a cytotoxic agent,
- 20 5) an antiproliferative agent,
- 6) a prenyl-protein transferase inhibitor,
- 7) an HMG-CoA reductase inhibitor,
- 8) an HIV protease inhibitor,
- 9) a reverse transcriptase inhibitor, and
- 25 10) an angiogenesis inhibitor.

15 15. The method of Claim 14, wherein the second compound is an estrogen receptor modulator selected from tamoxifen and raloxifene.

30 16. A method of treating cancer which comprises administering a therapeutically effective amount of a compound of Claim 1 in combination with radiation therapy.

35 17. The method of Claim 16 wherein radiation therapy is also administered.

18. A method of treating cancer which comprises administering a therapeutically effective amount of a compound of Claim 1 and paclitaxel or trastuzumab.
- 5
19. A method of treating or preventing cancer which comprises administering a therapeutically effective amount of a compound of Claim 1 and a GPIIb/IIIa antagonist.
20. The method of Claim 19 wherein the GPIIb/IIIa antagonist is tirofiban.
21. A method of treating or preventing cancer which comprises administering a therapeutically effective amount of a compound of Claim 1 in combination with a COX-2 inhibitor.
22. A process for preparing an alkyl 5-iodo-1*H*-indole-2-carboxylate which comprises the steps of:
- a) combining alkyl 1*H*-indole-2-carboxylate, iodine, sodium periodate and sulfuric acid in an alcohol, and heating to a temperature of about 50 °C to about 100 °C to obtain a product;
 - b) adding the product to a solution of organic solvent and aqueous solution to create a first biphasic mixture;
 - c) removing, drying, filtering and concentrating the organic layer;
 - d) dissolving the organic layer in an alcohol;
 - e) adding zinc and aqueous acid to produce a mixture;
 - f) combining the mixture with water to create a second biphasic mixture; and
 - g) extracting, drying and filtering the organic layer of the second biphasic mixture to obtain the alkyl 5-iodo-1*H*-indole-2-carboxylate.

23. The process of Claim 22 wherein the alkyl 5-iodo-1*H*-indole-2-carboxylate is ethyl 5-iodo-1*H*-indole-2-carboxylate.